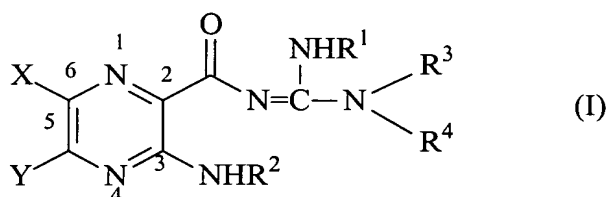


IN THE CLAIMS

Please amend the claims as follows:

Claims 1-208: Canceled.

209. (New) A compound represented by formula (I):



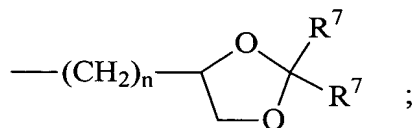
wherein

X is hydrogen, halogen, trifluoromethyl, lower alkyl, unsubstituted or substituted phenyl, lower alkyl-thio, phenyl-lower alkyl-thio, lower alkyl-sulfonyl, or phenyl-lower alkyl-sulfonyl;

Y is hydrogen, hydroxyl, mercapto, lower alkoxy, lower alkyl-thio, halogen, lower alkyl, unsubstituted or substituted mononuclear aryl, or -N(R<sup>2</sup>)<sub>2</sub>;

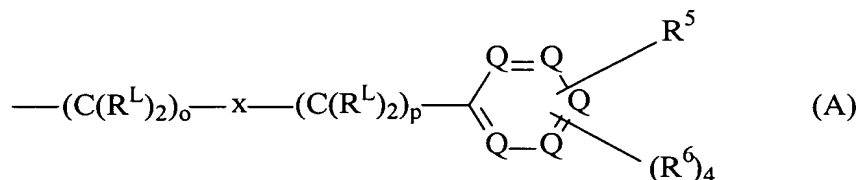
R<sup>1</sup> is hydrogen or lower alkyl;

each R<sup>2</sup> is, independently, -R<sup>7</sup>, -(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>, -(CH<sub>2</sub>)<sub>m</sub>-NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>-Z<sub>g</sub>-R<sup>7</sup>, -(CH<sub>2</sub>)<sub>m</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>, -(CH<sub>2</sub>)<sub>n</sub>-CO<sub>2</sub>R<sup>7</sup>, or



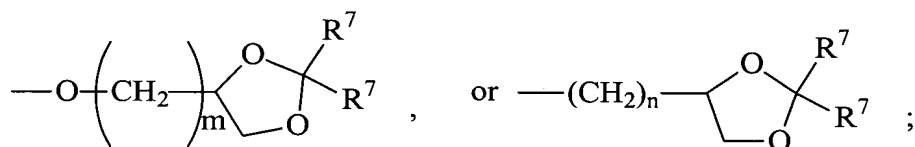
R<sup>3</sup> and R<sup>4</sup> are each, independently, hydrogen, a group represented by formula (A), lower alkyl, hydroxy lower alkyl, phenyl, phenyl-lower alkyl, (halophenyl)-lower alkyl, lower-(alkylphenylalkyl), lower (alkoxyphenyl)-lower alkyl, naphthyl-lower alkyl, or

pyridyl- lower alkyl, with the proviso that at least one of  $R^3$  and  $R^4$  is a group represented by formula (A):



wherein

each  $\text{R}^{\text{L}}$  is, independently,  $-\text{R}^7$ ,  $-(\text{CH}_2)_n-\text{OR}^8$ ,  $-\text{O}-(\text{CH}_2)_m-\text{OR}^8$ ,  $-(\text{CH}_2)_n-\text{NR}^7\text{R}^{10}$ ,  $-\text{O}-(\text{CH}_2)_m-\text{NR}^7\text{R}^{10}$ ,  $-(\text{CH}_2)_n(\text{CHOR}^8)(\text{CHOR}^8)_n-\text{CH}_2\text{OR}^8$ ,  $-\text{O}-(\text{CH}_2)_m(\text{CHOR}^8)(\text{CHOR}^8)_n-\text{CH}_2\text{OR}^8$ ,  $-(\text{CH}_2\text{CH}_2\text{O})_m-\text{R}^8$ ,  $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_m-\text{R}^8$ ,  $-(\text{CH}_2\text{CH}_2\text{O})_m-\text{CH}_2\text{CH}_2\text{NR}^7\text{R}^{10}$ ,  $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_m-\text{CH}_2\text{CH}_2\text{NR}^7\text{R}^{10}$ ,  $-(\text{CH}_2)_n-\text{C}(=\text{O})\text{NR}^7\text{R}^{10}$ ,  $-\text{O}-(\text{CH}_2)_m-\text{C}(=\text{O})\text{NR}^7\text{R}^{10}$ ,  $-(\text{CH}_2)_n-(\text{Z})_g-\text{R}^7$ ,  $-\text{O}-(\text{CH}_2)_m-(\text{Z})_g-\text{R}^7$ ,  $-(\text{CH}_2)_n-\text{NR}^{10}-\text{CH}_2(\text{CHOR}^8)(\text{CHOR}^8)_n-\text{CH}_2\text{OR}^8$ ,  $-\text{O}-(\text{CH}_2)_m-\text{NR}^{10}-\text{CH}_2(\text{CHOR}^8)(\text{CHOR}^8)_n-\text{CH}_2\text{OR}^8$ ,  $-(\text{CH}_2)_n-\text{CO}_2\text{R}^7$ ,  $-\text{O}-(\text{CH}_2)_m-\text{CO}_2\text{R}^7$ ,  $-\text{OSO}_3\text{H}$ ,  $-\text{O-glucuronide}$ ,  $-\text{O-glucose}$ ,



each  $o$  is, independently, an integer from 0 to 10;

each  $p$  is an integer from 0 to 10;

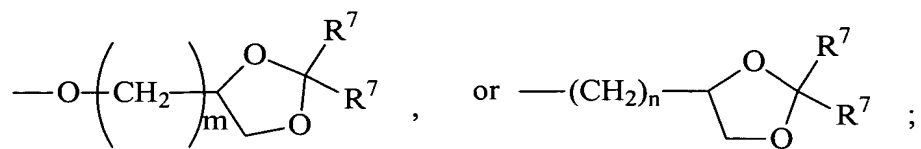
with the proviso that the sum of  $o$  and  $p$  in each contiguous chain is from 1 to 10;

each  $x$  is, independently,  $\text{O}$ ,  $\text{NR}^{10}$ ,  $\text{C}(=\text{O})$ ,  $\text{CHOH}$ ,  $\text{C}(=\text{N}-\text{R}^{10})$ ,  $\text{CHNR}^7\text{R}^{10}$ , or represents a single bond;

each  $\text{R}^5$  is, independently,  $-\text{O}-\text{CH}_2-(\text{C}=\text{O})\text{NH}-(\text{C}=\text{O})\text{CH}_3$ ,  $-(\text{CH}_2)_n-(\text{C}=\text{NH})-\text{NH}_2$ ,  $-(\text{CH}_2)_n-\text{NH}-\text{C}(=\text{NH})-\text{NH}_2$ ,  $-(\text{CH}_2)_n-\text{CONHCH}_2(\text{CHOH})_n-\text{CH}_2\text{OH}$ ,  $-\text{NH}-\text{C}(=\text{O})-$

$\text{CH}_2-(\text{CHOH})_n\text{CH}_2\text{OH}$ ,  $-\text{NH}-(\text{C}=\text{O})-\text{NH}-\text{CH}_2(\text{CHOH})_n\text{CHOH}$ ,  $-\text{O}-(\text{CH}_2)_m-\text{NH}-\text{C}(\text{=NH})-\text{N}(\text{R}^7)_2$ ,  $-\text{O}-(\text{CH}_2)_m-\text{CHNH}_2-\text{CONR}^7\text{R}^{10}$ ,  $-\text{O}-\text{CH}_2\text{CHOHCH}_2\text{O}$ -glucuronide,  $-\text{OCH}_2\text{CO}_2\text{H}$ ,  $-\text{NHCH}_2(\text{CHOH})_2-\text{CH}_2\text{OH}$ ,  $-\text{OCH}_2\text{CO}_2\text{Et}$ ,  $-\text{NH}\text{SO}_2\text{CH}_3$ ,  $-\text{O}-\text{CH}_2\text{C}(\text{=O})\text{NH}_2$ ,  $-\text{CH}_2\text{NH}_2$ ,  $-\text{NHCO}_2\text{Et}$ ,  $-\text{OCH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{OH}$ ,  $-\text{CH}_2\text{NH}\text{SO}_2\text{CH}_3$ ,  $-\text{OCH}_2\text{CH}_2\text{CHOHCH}_2\text{OH}$ ,  $-\text{OCH}_2\text{CH}_2\text{NHCO}_2\text{Et}$ ,  $-\text{NH}-\text{C}(\text{=NH}_2)-\text{NH}_2$ ,  $-\text{CH}_2\text{CH}-\text{CH}-\text{CH}_2\text{OH}$ ,  $-\text{CH}_2-\text{CHOH}-\text{CH}_2-\text{NHBoc}$ ,  $-\text{O}-\text{CH}_2-\text{CHOH}-\text{CH}_2-\text{NHBoc}$ ,  $-\text{OCH}_2\text{CH}_2\text{CH}_2\text{NH}_2$ ,  $-\text{OCH}_2\text{CH}_2\text{NHCH}_2(\text{CHOH})_2\text{CH}_2\text{OH}$ ,  $-\text{OCH}_2\text{CH}_2\text{NH}(\text{CH}_2[(\text{CHOH})_2\text{CH}_2\text{OH}])_2$ ,  $-(\text{CH}_2)_4-\text{NHBoc}$ ,  $-(\text{CH}_2)_4-\text{NH}_2$ ,  $-(\text{CH}_2)_4-\text{OH}$ ,  $-\text{OCH}_2\text{CH}_2\text{NH}\text{SO}_2\text{CH}_3$ ,  $-(\text{CH}_2)_3-\text{NHBoc}$ ,  $-(\text{CH}_2)_3-\text{NH}_2$ ,  $-\text{O}-\text{CH}_2-\text{CHOH}-\text{CH}_2-\text{NH}-\text{C}(\text{=NH})-\text{N}(\text{R}^7)_2$ , para- $(\text{CH}_2)_4-\text{OH}$ , para- $-\text{O}-(\text{CH}_2)_4-\text{OH}$ , para- $\text{NH}\text{SO}_2\text{CH}_3$ , para- $\text{CH}_2\text{NH}(\text{C}=\text{O})\text{O}-\text{C}(\text{CH}_3)_3$ , para- $\text{NH}(\text{C}=\text{O})\text{CH}_3$ , para- $\text{CH}_2\text{NH}_2$ , para- $\text{NH}-\text{CO}_2\text{C}_2\text{H}_5$ , para- $\text{CH}_2\text{NH}(\text{C}=\text{O})\text{CH}_3$ , para- $\text{CH}_2\text{NHCO}_2\text{CH}_3$ , para- $\text{CH}_2\text{NH}\text{SO}_2\text{CH}_3$ , para- $(\text{CH}_2)_4-\text{NH}(\text{C}=\text{O})\text{OC}(\text{CH}_3)_3$ , para- $(\text{CH}_2)_4-\text{NH}_2$ , para- $(\text{CH}_2)_3-\text{NH}(\text{C}=\text{O})\text{OC}(\text{CH}_3)_3$ , para- $(\text{CH}_2)_3-\text{NH}_2$ , para- $\text{OCH}_2\text{CH}_2\text{NHCO}_2\text{C}(\text{CH}_3)_3$ , para- $\text{OCH}_2\text{CH}_2\text{NHCO}_2\text{C}_2\text{H}_5$ , para- $-\text{O}-(\text{CH}_2)_3-\text{NH}-\text{CO}_2\text{C}(\text{CH}_3)_3$ , para- $-\text{O}(\text{CH}_2)_3-\text{NH}_2$ , para- $\text{OCH}_2\text{CH}_2\text{NH}\text{SO}_2\text{CH}_3$ , para- $\text{OCH}_2\text{CHOHCH}_2\text{O}$ -glucuronide, para- $\text{OCH}_2\text{CH}_2\text{CHOHCH}_2\text{OH}$ , para- $-\text{OCH}_2-(\alpha-\text{CHOH})_2\text{CH}_2\text{OH}$ , para- $-\text{OCH}_2-(\text{CHOH})_2\text{CH}_2\text{OH}$ , para- $\text{C}(\text{=O})\text{NH}_2$ , para- $-\text{O}-\text{CH}_2-(\text{C}=\text{O})\text{NHCH}_2\text{CHOH}$ , para- $-\text{O}-\text{CH}_2-(\text{C}=\text{O})\text{NHCH}_2\text{CHOHCH}_2\text{OH}$ , para- $-\text{O}-\text{CH}_2(\text{C}=\text{O})\text{NHCH}_2(\text{CHOH})_2\text{CH}_2\text{OH}$ , para- $-\text{O}-\text{CH}_2(\text{C}=\text{O})\text{NH}\text{SO}_2\text{CH}_3$ , para- $-\text{O}-\text{CH}_2(\text{C}=\text{O})\text{NHCO}_2\text{CH}_3$ , para- $-\text{O}-\text{CH}_2-(\text{C}=\text{O})\text{NH}-\text{C}(\text{C}=\text{O})\text{NH}_2$ , para- $(\text{C}=\text{NH})-\text{NH}_2$ , para- $(\text{CH}_2)_3-\text{NH}-\text{C}(\text{=NH})-\text{NH}_2$ , para- $\text{CH}_2\text{NH}-\text{C}(\text{=NH})-\text{NH}_2$ , para- $\text{NH}(\text{C}=\text{O})\text{NHCH}_2\text{CH}_2\text{OH}$ , para- $-\text{O}(\text{CH}_2)_3-\text{NH}-\text{C}(\text{=NH})-\text{NH}_2$ , para- $\text{OCH}_2-\text{CHNH}_2-\text{CONH}_2$ , para- $\text{OCH}_2\text{CHOH}-\text{CH}_2\text{NHCO}_2\text{C}(\text{CH}_3)_3$ , para- $\text{NHCH}_2(\text{CHOH})_2\text{CH}_2\text{OH}$ , para- $\text{OCH}_2\text{CO}_2\text{C}(\text{CH}_3)_3$ , para- $\text{OCH}_2\text{CO}_2\text{H}$ , or para- $\text{OCH}_2\text{CO}_2\text{C}_2\text{H}_5$ ;

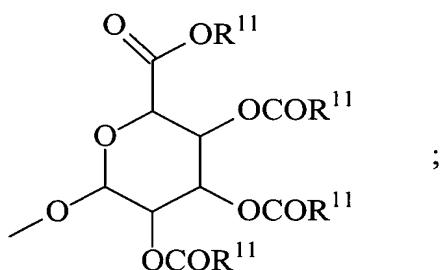
each  $\text{R}^6$  is, independently,  $-\text{R}^7$ ,  $-\text{OR}^{11}$ ,  $-\text{N}(\text{R}^7)_2$ ,  $-(\text{CH}_2)_m-\text{OR}^8$ ,  $-\text{O}-(\text{CH}_2)_m-\text{OR}^8$ ,  $-(\text{CH}_2)_n-\text{NR}^7\text{R}^{10}$ ,  $-\text{O}-(\text{CH}_2)_m-\text{NR}^7\text{R}^{10}$ ,  $-(\text{CH}_2)_n(\text{CHOR}^8)(\text{CHOR}^8)_n-\text{CH}_2\text{OR}^8$ ,  $-\text{O}-(\text{CH}_2)_m(\text{CHOR}^8)(\text{CHOR}^8)_n-\text{CH}_2\text{OR}^8$ ,  $-(\text{CH}_2\text{CH}_2\text{O})_m-\text{R}^8$ ,  $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_m-\text{R}^8$ ,  $-(\text{CH}_2\text{CH}_2\text{O})_m-\text{CH}_2\text{CH}_2\text{NR}^7\text{R}^{10}$ ,  $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_m-\text{CH}_2\text{CH}_2\text{NR}^7\text{R}^{10}$ ,  $-(\text{CH}_2)_n-\text{C}(\text{=O})\text{NR}^7\text{R}^{10}$ ,  $-\text{O}-(\text{CH}_2)_m-\text{C}(\text{=O})\text{NR}^7\text{R}^{10}$ ,  $-(\text{CH}_2)_n-(\text{Z})_g-\text{R}^7$ ,  $-\text{O}-(\text{CH}_2)_m-(\text{Z})_g-\text{R}^7$ ,  $-(\text{CH}_2)_n-\text{NR}^{10}-\text{CH}_2(\text{CHOR}^8)(\text{CHOR}^8)_n-\text{CH}_2\text{OR}^8$ ,  $-\text{O}-(\text{CH}_2)_m-\text{NR}^{10}-\text{CH}_2(\text{CHOR}^8)(\text{CHOR}^8)_n-\text{CH}_2\text{OR}^8$ ,  $-(\text{CH}_2)_n-\text{CO}_2\text{R}^7$ ,  $-\text{O}-(\text{CH}_2)_m-\text{CO}_2\text{R}^7$ ,  $-\text{OSO}_3\text{H}$ ,  $-\text{O}$ -glucuronide,  $-\text{O}$ -glucose,



wherein when two  $\text{R}^6$  are  $-\text{OR}^{11}$  and are located adjacent to each other on a phenyl ring, the alkyl moieties of the two  $\text{R}^6$  may be bonded together to form a methylenedioxy group;

each  $\text{R}^7$  is, independently, hydrogen or lower alkyl;

each  $\text{R}^8$  is, independently, hydrogen, lower alkyl,  $-\text{C}(=\text{O})-\text{R}^{11}$ , glucuronide, 2-tetrahydropyranyl, or



each  $\text{R}^9$  is, independently,  $-\text{CO}_2\text{R}^7$ ,  $-\text{CON}(\text{R}^7)_2$ ,  $-\text{SO}_2\text{CH}_3$ , or  $-\text{C}(=\text{O})\text{R}^7$ ;

each  $\text{R}^{10}$  is, independently,  $-\text{H}$ ,  $-\text{SO}_2\text{CH}_3$ ,  $-\text{CO}_2\text{R}^7$ ,  $-\text{C}(=\text{O})\text{NR}^7\text{R}^9$ ,  $-\text{C}(=\text{O})\text{R}^7$ , or  $-\text{CH}_2-(\text{CHOH})_n-\text{CH}_2\text{OH}$ ;

each  $\text{Z}$  is, independently,  $\text{CHOH}$ ,  $\text{C}(=\text{O})$ ,  $\text{CHNR}^7\text{R}^{10}$ ,  $\text{C}=\text{NR}^{10}$ , or  $\text{NR}^{10}$ ;

each  $\text{R}^{11}$  is, independently, lower alkyl;

each  $g$  is, independently, an integer from 1 to 6;

each  $m$  is, independently, an integer from 1 to 7;

each  $n$  is, independently, an integer from 0 to 7;

each  $\text{Q}$  is, independently,  $\text{C}-\text{R}^5$ ,  $\text{C}-\text{R}^6$ , or a nitrogen atom, wherein at most three  $\text{Q}$  in a ring are nitrogen atoms;

or a pharmaceutically acceptable salt thereof, and

inclusive of all enantiomers, diastereomers, and racemic mixtures thereof.

210. (New) The compound of Claim 209, wherein  $\text{Y}$  is  $-\text{NH}_2$ .

211. (New) The compound of Claim 210, wherein  $R^2$  is hydrogen.
212. (New) The compound of Claim 211, wherein  $R^1$  is hydrogen.
213. (New) The compound of Claim 212, wherein X is chlorine.
214. (New) The compound of Claim 213, wherein  $R^3$  is hydrogen.
215. (New) The compound of Claim 214, wherein each  $R^L$  is hydrogen.
216. (New) The compound of Claim 215, wherein o is 4.
217. (New) The compound of Claim 216, wherein p is 0.
218. (New) The compound of Claim 217, wherein x represents a single bond.
219. (New) The compound of Claim 218, wherein each  $R^6$  is hydrogen.
220. (New) The compound of Claim 219, wherein at most one Q is a nitrogen atom.
221. (New) The compound of Claim 220, wherein no Q is a nitrogen atom.
222. (New) The compound of Claim 209, wherein  $R^5$  is para- $(CH_2)_4-OH$ .
223. (New) The compound of Claim 209, wherein  $R^5$  is para- $O-(CH_2)_4-OH$ .
224. (New) The compound of Claim 209, wherein  $R^5$  is para- $NHSO_2CH_3$ .
225. (New) The compound of Claim 209, wherein  $R^5$  is para- $CH_2NH(C=O)-OC(CH_3)_3$ .
226. (New) The compound of Claim 209, wherein  $R^5$  is para- $NH(C=O)CH_3$ .

227. (New) The compound of Claim 209, wherein  $R^5$  is para- $\text{CH}_2\text{NH}_2$ .
228. (New) The compound of Claim 209, wherein  $R^5$  is para- $\text{NH-CO}_2\text{C}_2\text{H}_5$ .
229. (New) The compound of Claim 209, wherein  $R^5$  is para- $\text{CH}_2\text{NH}(\text{C}=\text{O})\text{CH}_3$ .
230. (New) The compound of Claim 209, wherein  $R^5$  is para- $\text{CH}_2\text{NHCO}_2\text{CH}_3$ .
231. (New) The compound of Claim 209, wherein  $R^5$  is para- $\text{CH}_2\text{NHSO}_2\text{CH}_3$ .
232. (New) The compound of Claim 209, wherein  $R^5$  is para- $(\text{CH}_2)_4\text{-NH}(\text{C}=\text{O})\text{OC}(\text{CH}_3)_3$ .
233. (New) The compound of Claim 209, wherein  $R^5$  is para- $(\text{CH}_2)_4\text{-NH}_2$ .
234. (New) The compound of Claim 209, wherein  $R^5$  is para- $(\text{CH}_2)_3\text{-NH}(\text{C}=\text{O})\text{OC}(\text{CH}_3)_3$ .
235. (New) The compound of Claim 209, wherein  $R^5$  is para- $(\text{CH}_2)_3\text{-NH}_2$ .
236. (New) The compound of Claim 209, wherein  $R^5$  is para- $\text{OCH}_2\text{CH}_2\text{NHCO}_2\text{C}(\text{CH}_3)_3$ .
237. (New) The compound of Claim 209, wherein  $R^5$  is para- $\text{OCH}_2\text{CH}_2\text{NHCO}_2\text{C}_2\text{H}_5$ .
238. (New) The compound of Claim 209, wherein  $R^5$  is para- $\text{O}-(\text{CH}_2)_3\text{-NH-CO}_2\text{-C}(\text{CH}_3)_3$ .
239. (New) The compound of Claim 209, wherein  $R^5$  is para- $\text{O}(\text{CH}_2)_3\text{-NH}_2$ .
240. (New) The compound of Claim 209, wherein  $R^5$  is para- $\text{OCH}_2\text{CH}_2\text{NHSO}_2\text{CH}_3$ .

241. (New) The compound of Claim 209, wherein R<sup>5</sup> is para-OCH<sub>2</sub>CHOHCH<sub>2</sub>O-glucuronide.

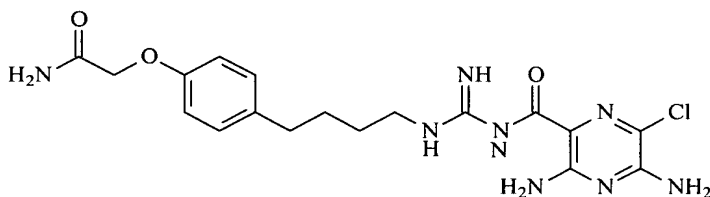
242. (New) The compound of Claim 209, wherein R<sup>5</sup> is para-OCH<sub>2</sub>CH<sub>2</sub>CHOHCH<sub>2</sub>OH.

243. (New) The compound of Claim 209, wherein R<sup>5</sup> is para-OCH<sub>2</sub>-(α-CHOH)<sub>2</sub>CH<sub>2</sub>OH.

244. (New) The compound of Claim 209, wherein R<sup>5</sup> is para-OCH<sub>2</sub>-(CHOH)<sub>2</sub>CH<sub>2</sub>OH.

245. (New) The compound of Claim 209, wherein R<sup>5</sup> is para-C(=O)NH<sub>2</sub>.

246. (New) The compound of Claim 209, which is represented by the formula:



247. (New) The compound of Claim 209, which is the methane sulfonic acid salt.

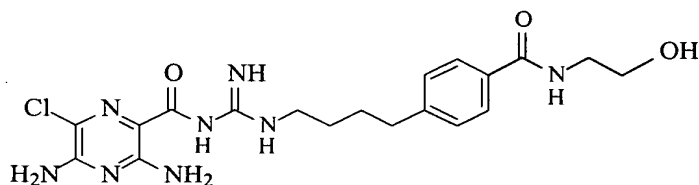
248. (New) The compound of Claim 209, wherein R<sup>5</sup> is para-O-CH<sub>2</sub>-(C=O)NHCH<sub>2</sub>CHOH.

249. (New) The compound of Claim 209, wherein R<sup>5</sup> is para-O-CH<sub>2</sub>-(C=O)NHCH<sub>2</sub>CHOHCH<sub>2</sub>OH.

250. The compound of Claim 209, wherein R<sup>5</sup> is para-O-CH<sub>2</sub>-(C=O)NHCH<sub>2</sub>(CHOH)<sub>2</sub>CH<sub>2</sub>OH.

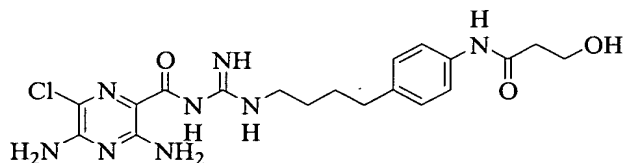
251. The compound of Claim 209, wherein R<sup>5</sup> is para-O-CH<sub>2</sub>-(C=O)NHSO<sub>2</sub>CH<sub>3</sub>.

252. The compound of Claim 209, wherein  $R^5$  is para-O-CH<sub>2</sub>(C=O)NHCO<sub>2</sub>CH<sub>3</sub>.
253. The compound of Claim 209, wherein  $R^5$  is para-O-CH<sub>2</sub>-(C=O)NH-C(C=O)NH<sub>2</sub>.
254. The compound of Claim 209, wherein  $R^5$  is -O-CH<sub>2</sub>-(C=O)NH-(C=O)CH<sub>3</sub>.
255. The compound of Claim 209, wherein  $R^5$  is (CH<sub>2</sub>)<sub>n</sub>-(C=NH)-NH<sub>2</sub>.
256. The compound of Claim 209, wherein  $R^5$  is para-(C=NH)-NH<sub>2</sub>.
257. (New) The compound of Claim 209, wherein  $R^5$  is (CH<sub>2</sub>)<sub>n</sub>-NH-C(=NH)-NH<sub>2</sub>.
258. (New) The compound of Claim 209, wherein  $R^5$  is para-(CH<sub>2</sub>)<sub>3</sub>-NH-C(=NH)-NH<sub>2</sub>.
259. (New) The compound of Claim 209, wherein  $R^5$  is para-CH<sub>2</sub>NH-C(=NH)-NH<sub>2</sub>.
260. (New) The compound of Claim 209, wherein  $R^5$  is (CH<sub>2</sub>)<sub>n</sub>-CONHCH<sub>2</sub>(CHOH)<sub>n</sub>-CH<sub>2</sub>OH.
261. (New) The compound of Claim 209, which is represented by the formula:



262. (New) The compound of Claim 209, wherein  $R^5$  is NH-C(=O)-CH<sub>2</sub>-(CHOH)<sub>n</sub>CH<sub>2</sub>OH.
263. (New) The compound of Claim 209, which is represented by the formula:



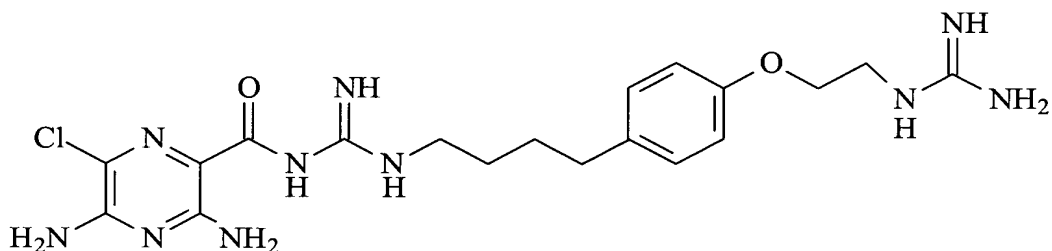


264. (New) The compound of Claim 209, wherein  $R^5$  is  $-NH-(C=O)-NH-CH_2(CHOH)_nCHOH$ .

265. (New) The compound of Claim 209, wherein  $R^5$  is  $para-NH(C=O)NHCH_2CH_2OH$ .

266. (New) The compound of Claim 209, wherein  $R^5$  is  $-O-(CH_2)_m-NH-C(=NH)-N(R^7)_2$ .

267. (New) The compound of Claim 209, which is represented by the formula:



268. (New) The compound of Claim 209, wherein  $R^5$  is  $para-O(CH_2)_3-NH-C(=NH)-NH_2$ .

269. (New) The compound of Claim 209, wherein  $R^5$  is  $-O-(CH_2)_m-CHNH_2-CONR^7R^{10}$ .

270. (New) The compound of Claim 209, wherein  $R^5$  is  $para-OCH_2-CHNH_2-CONH_2$ .

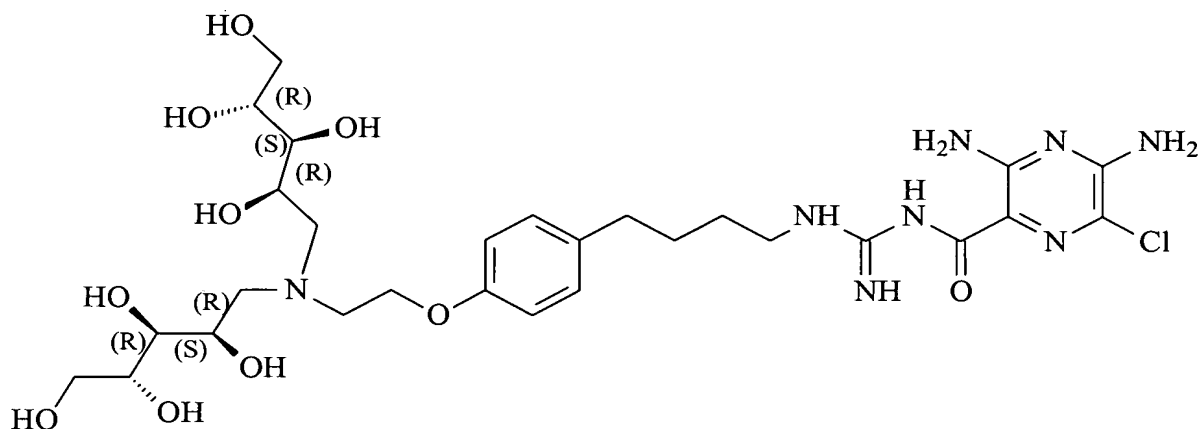
271. (New) The compound of Claim 209, which is the (R) enantiomer.

272. (New) The compound of Claim 209, which is the (S) enantiomer.

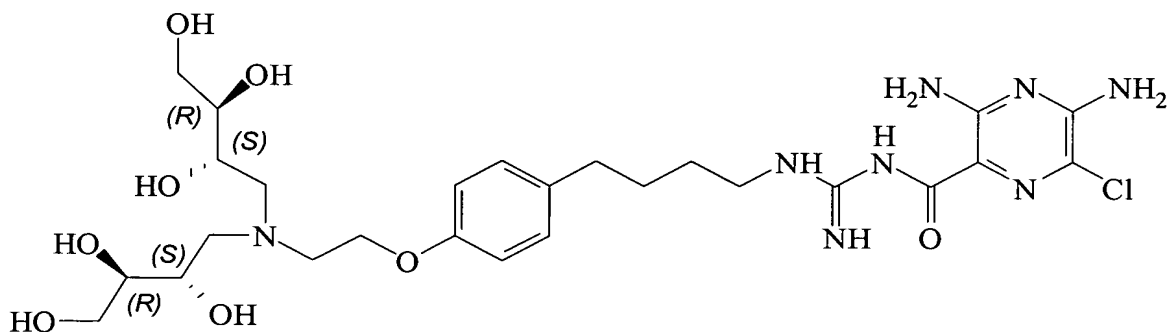
273. (New) The compound of Claim 209, wherein R<sup>5</sup> is para-OCH<sub>2</sub>CHOH-CH<sub>2</sub>NHCO<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>.

274. (New) The compound of Claim 209, wherein R<sup>5</sup> is para-NHCH<sub>2</sub>(CHOH)<sub>2</sub>CH<sub>2</sub>OH.

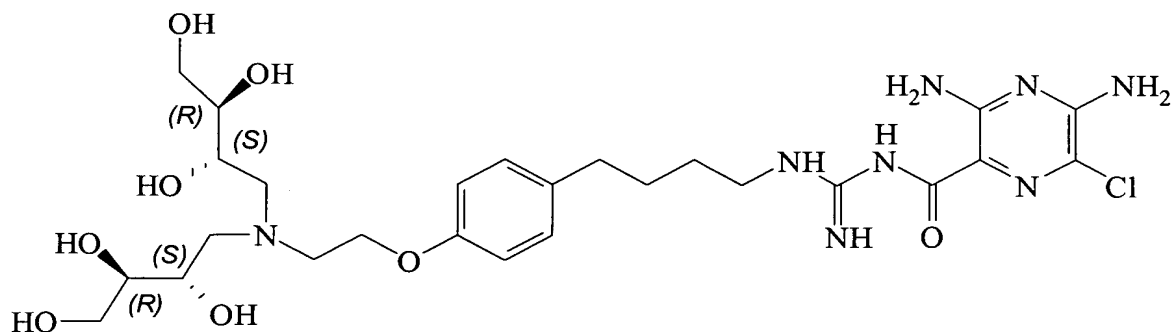
275. (New) The compound of Claim 209, which is represented by the formula:



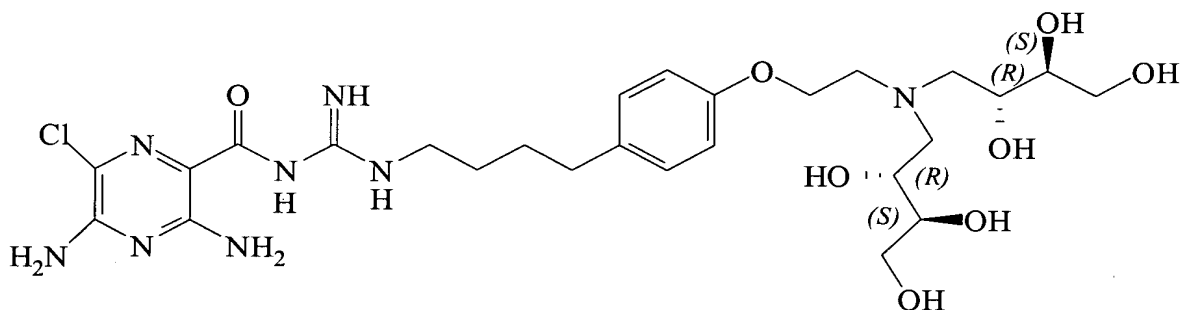
276. (New) The compound of Claim 209, which is represented by the formula:



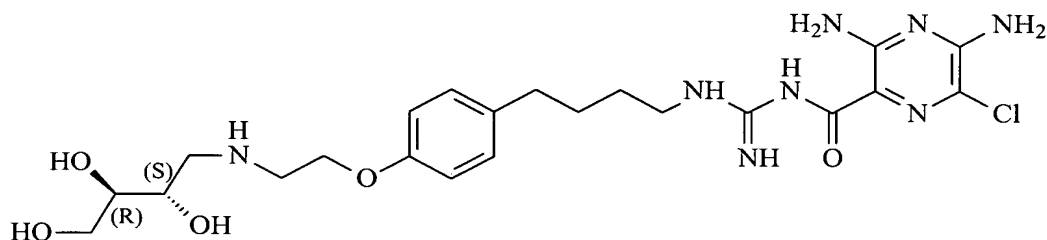
277. (New) The compound of Claim 209, which is represented by the formula:



278. The compound of Claim 209, which is represented by the formula:



279. (New) The compound of Claim 209, which is represented by the formula:



280. (New) The compound of Claim 209, wherein R<sup>5</sup> is para-OCH<sub>2</sub>CO<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>.

281. (New) The compound of Claim 209, wherein R<sup>5</sup> is para-OCH<sub>2</sub>CO<sub>2</sub>H.

282. (New) The compound of Claim 209, wherein R<sup>5</sup> is para-OCH<sub>2</sub>CO<sub>2</sub>C<sub>2</sub>H<sub>5</sub>.

283. (New) The compound of Claim 209, wherein  
X is halogen;

Y is  $-N(R^7)_2$ ;

$R^1$  is hydrogen or  $C_1$ - $C_3$  alkyl;

$R^2$  is  $-R^7$ ,  $-(CH_2)_m-OR^8$ , or  $-(CH_2)_n-CO_2R^7$ ;

$R^3$  is a group represented by formula (A); and

$R^4$  is hydrogen, a group represented by formula (A), or lower alkyl.

284. (New) The compound of Claim 209, wherein

X is chloro or bromo;

Y is  $-N(R^7)_2$ ;

$R^2$  is hydrogen or  $C_1$ - $C_3$  alkyl;

at most three  $R^6$  are other than hydrogen as defined above;

at most three  $R^L$  are other than hydrogen as defined above; and

at most 2 Q are nitrogen atoms.

285. (New) The compound of Claim 209, wherein Y is  $-NH_2$ .

286. (New) The compound of Claim 209, wherein  $R^4$  is hydrogen;

at most one  $R^L$  is other than hydrogen as defined above;

at most two  $R^6$  are other than hydrogen as defined above; and

at most 1 Q is a nitrogen atom.

287. (New) The compound of Claim 209, wherein  $R^5$  is

$-O-CH_2CHOHCH_2O$ -glucuronide,

$-OCH_2CO_2H$ ,

$-NHCH_2(CHOH)_2-CH_2OH$ ,

$-OCH_2CO_2Et$ ,

$-NHSO_2CH_3$ ,

$-O-CH_2C(=O)NH_2$ ,

$-CH_2NH_2$ ,

$-NHCO_2Et$ ,

$-OCH_2CH_2CH_2CH_2OH$ ,

$-CH_2NHSO_2CH_3$ ,

$-OCH_2CH_2CHOHCH_2OH$ ,

$-OCH_2CH_2NHCO_2Et$ ,

-NH-C(=NH<sub>2</sub>)-NH<sub>2</sub>,  
-CH<sub>2</sub>CH-CH-CH<sub>2</sub>OH,  
-CH<sub>2</sub>-CHOH-CH<sub>2</sub>-NHBoc,  
-O-CH<sub>2</sub>-CHOH-CH<sub>2</sub>-NHBoc,  
-OCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>,  
-OCH<sub>2</sub>CH<sub>2</sub>NHCH<sub>2</sub>(CHOH)<sub>2</sub>CH<sub>2</sub>OH,  
-OCH<sub>2</sub>CH<sub>2</sub>NH(CH<sub>2</sub>[(CHOH)<sub>2</sub>CH<sub>2</sub>OH])<sub>2</sub>,  
-(CH<sub>2</sub>)<sub>4</sub>-NHBoc,  
-(CH<sub>2</sub>)<sub>4</sub>-NH<sub>2</sub>,  
-(CH<sub>2</sub>)<sub>4</sub>-OH,  
-OCH<sub>2</sub>CH<sub>2</sub>NHSO<sub>2</sub>CH<sub>3</sub>,  
-(CH<sub>2</sub>)<sub>3</sub>-NH Boc,  
-(CH<sub>2</sub>)<sub>3</sub>NH<sub>2</sub>, or  
-O-CH<sub>2</sub>-CHOH-CH<sub>2</sub>-NH-C(=NH)-N(R<sup>7</sup>)<sub>2</sub>.

288. (New) The compound of Claim 209, wherein

X is chloro or bromo;

Y is -N(R<sup>7</sup>)<sub>2</sub>;

R<sup>1</sup> is hydrogen or C<sub>1</sub>-C<sub>3</sub> alkyl;

R<sup>2</sup> is hydrogen or C<sub>1</sub>-C<sub>3</sub> alkyl;

R<sup>3</sup> is a group represented by formula (A); and

R<sup>4</sup> is hydrogen, a group represented by formula (A), or lower alkyl;

at most three R<sup>6</sup> are other than hydrogen as defined above;

at most three R<sup>L</sup> are other than hydrogen as defined above; and

at most 2 Q are nitrogen atoms.

289. (New) The compound of Claim 288, wherein

R<sup>4</sup> is hydrogen;

at most one R<sup>L</sup> is other than hydrogen as defined above;

at most two R<sup>6</sup> are other than hydrogen as defined above; and

at most 1 Q is a nitrogen atom.

290. (New) The compound of Claim 289, wherein

X is chloro or bromo;

Y is  $-N(R^7)_2$ ;

$R^1$  is hydrogen or  $C_1$ - $C_3$  alkyl;

$R^2$  is hydrogen or  $C_1$ - $C_3$  alkyl;

$R^3$  is a group represented by formula (A); and

$R^4$  is hydrogen, a group represented by formula (A), or lower alkyl;

at most three  $R^6$  are other than hydrogen as defined above;

at most three  $R^L$  are other than hydrogen as defined above; and

at most 2 Q are nitrogen atoms.

291. (New) The compound of Claim 290, wherein

$R^4$  is hydrogen;

at most one  $R^L$  is other than hydrogen as defined above;

at most two  $R^6$  are other than hydrogen as defined above; and

at most 1 Q is a nitrogen atom.

292. (New) The compound of Claim 209, wherein x is a single bond.

293. (New) The compound of Claim 209, which is in the form of a pharmaceutically acceptable salt.

294. (New) A composition, comprising:

the compound of Claim 209; and

a P2Y2 receptor agonist.

295. (New) A composition, comprising:

the compound of Claim 209; and

a bronchodilator.

296. (New) A pharmaceutical composition, comprising the compound of Claim 209 and a pharmaceutically acceptable carrier.

297. (New) A method of promoting hydration of mucosal surfaces, comprising: administering an effective amount of the compound of Claim 209 to a mucosal surface of a subject.

298. (New) A method of restoring mucosal defense, comprising:  
topically administering an effective amount of the compound of Claim 209 to a  
mucosal surface of a subject in need thereof.

299. (New) A method of blocking sodium channels, comprising:  
contacting sodium channels with an effective amount of the compound of Claim 209.

300. (New) A method of treating chronic bronchitis, comprising:  
administering an effective amount of the compound of Claim 209 to a subject in need  
thereof.

301. (New) A method of treating cystic fibrosis, comprising:  
administering an effective amount of the compound of Claim 209 to a subject in need  
thereof.

302. (New) A method of treating sinusitis, comprising:  
administering an effective amount of the compound of Claim 209 to a subject in need  
thereof.

303. (New) A method of treating vaginal dryness, comprising:  
administering an effective amount of the compound of Claim 209 to the vaginal tract  
of a subject in need thereof.

304. (New) A method of treating dry eye, comprising:  
administering an effective amount of the compound of Claim 209 to the eye of a  
subject in need thereof.

305. (New) A method of promoting ocular hydration, comprising:  
administering an effective amount of the compound of Claim 209 to the eye of a  
subject.

306. (New) A method of promoting corneal hydration, comprising:

administering an effective amount of the compound of Claim 209 to the eye of a subject.

307. (New) A method of promoting mucus clearance in mucosal surfaces, comprising:

administering an effective amount of the compound of Claim 209 to a mucosal surface of a subject.

308. (New) A method of treating Sjogren's disease, comprising:

administering an effective amount of the compound of Claim 209 to a subject in need thereof.

309. (New) A method of treating distal intestinal obstruction syndrome, comprising: administering an effective amount of the compound of Claim 209 to a subject in need thereof.

310. (New) A method of treating dry skin, comprising:

administering an effective amount of the compound of Claim 209 to the skin of a subject in need thereof.

311. (New) A method of treating esophagitis, comprising:

administering an effective amount of the compound of Claim 209 to a subject in need thereof.

312. (New) A method of treating dry mouth (xerostomia), comprising:

administering an effective amount of the compound of Claim 209 to the mouth of a subject in need thereof.

313. (New) A method of treating nasal dehydration, comprising:

administering an effective amount of the compound of Claim 209 to the nasal passages of a subject in need thereof.

314. (New) The method of Claim 211, wherein the nasal dehydration is brought on by administering dry oxygen to the subject.



315. (New) A method of preventing ventilator-induced pneumonia , comprising:  
administering an effective amount of the compound of Claim 209 to a subject on a ventilator.

316. (New) A method of treating asthma, comprising:  
administering an effective amount of the compound of Claim 209 to a subject in need thereof.

317. (New) A method of treating primary ciliary dyskinesia, comprising:  
administering an effective amount of the compound of Claim 209 to a subject in need thereof.

318. (New) A method of treating otitis media, comprising:  
administering an effective amount of the compound of Claim 209 to a subject in need thereof.

319. (New) A method of inducing sputum for diagnostic purposes, comprising:  
administering an effective amount of the compound of Claim 209 to a subject in need thereof.

320. (New) A method of treating chronic obstructive pulmonary disease, comprising:  
administering an effective amount of the compound of Claim 209 to a subject in need thereof.

321. (New) A method of treating emphysema, comprising:  
administering an effective amount of the compound of Claim 209 to a subject in need thereof.

322. (New) A method of treating pneumonia, comprising:  
administering an effective amount of the compound of Claim 209 to a subject in need thereof.

323. (New) A method of treating constipation, comprising:

administering an effective amount of the compound of Claim 209 to a subject in need thereof.

324. (New) The method of Claim 321, wherein the compound is administered orally or via a suppository or enema.

325. (New) A method of treating chronic diverticulitis, comprising:  
administering an effective amount of the compound of Claim 209 to a subject in need thereof.

326. (New) A method of treating rhinosinusitis, comprising:  
administering an effective amount of the compound of Claim 209 to a subject in need thereof.

327. (New) A method of treating hypertension, comprising administering the compound of Claim 209 to a subject in need thereof.

328. (New) A method of reducing blood pressure, comprising administering the compound of Claim 209 to a subject in need thereof.

329. (New) A method of treating edema, comprising administering the compound of Claim 209 to a subject in need thereof.

330. (New) A method of promoting diuresis, comprising administering the compound of Claim 209 to a subject in need thereof.

331. (New) A method of promoting natriuresis, comprising administering the compound of Claim 209 to a subject in need thereof.

332. (New) A method of promoting saluresis, comprising administering the compound of Claim 209 to a subject in need thereof.